10/506,907a YONG CHU 04/11/2006

$%^STN;HighlightOn=;**HighlightOff=**;

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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	DEC 23	New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/ USPAT2
NEWS	4	JAN 13	IPC 8 searching in IFIPAT, IFIUDB, and IFICDB
NEWS	5	JAN 13	New IPC 8 SEARCH, DISPLAY, and SELECT enhancements added to INPADOC
NEWS	6	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS	7	JAN 17	IPC 8 in the WPI family of databases including WPIFV
NEWS	8	JAN 30	Saved answer limit increased
NEWS	9	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS	10	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS	11	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS	12	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS	13	FEB 28	MEDLINE/LMEDLINE reload improves functionality
NEWS	14	FEB 28	TOXCENTER reloaded with enhancements
NEWS	15	FEB 28	REGISTRY/ZREGISTRY enhanced with more experimental spectral property data
NEWS	16	MAR 01	INSPEC reloaded and enhanced
NEWS	17	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS	18	MAR 08	X.25 communication option no longer available after June 2006
NEWS	19	MAR 22	EMBASE is now updated on a daily basis
NEWS	20	APR 03	New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS	21	APR 03	Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL
NEWS	22	APR 04	STN AnaVist $500 visualization usage credit offered

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

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  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 07:35:37 ON 11 APR 2006

=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 07:35:45 ON 11 APR 2006
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STRUCTURE FILE UPDATES:     9 APR 2006  HIGHEST RN 879846-78-3
DICTIONARY FILE UPDATES:    9 APR 2006  HIGHEST RN 879846-78-3

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

*********************************************************************
*                                                                   *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                   *
*********************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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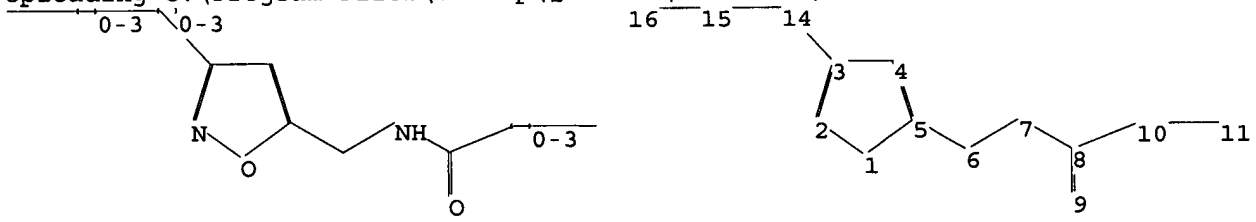


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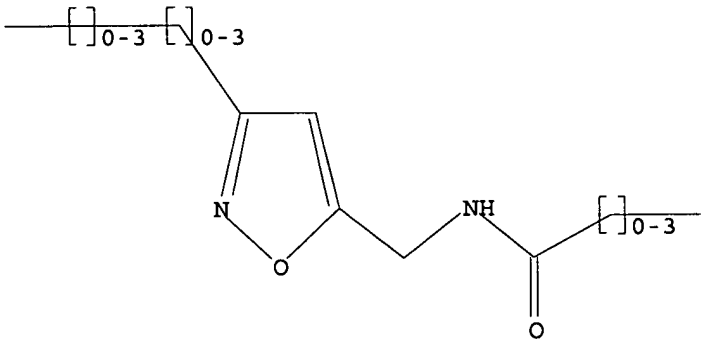
chain nodes :
6  7  8  9  10  11  14  15  16
ring nodes :
1  2  3  4  5
```

chain bonds :
3-14 5-6 6-7 7-8 8-9 8-10 10-11 14-15 15-16
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 6-7 7-8 8-9
exact bonds :
3-14 5-6 8-10 10-11 14-15 15-16

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 14:CLASS 15:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
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SAMPLE SCREEN SEARCH COMPLETED - 186 TO ITERATE

100.0% PROCESSED 186 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2902 TO 4538
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 07:36:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3308 TO ITERATE

100.0% PROCESSED 3308 ITERATIONS 37 ANSWERS
SEARCH TIME: 00.00.01

L3 37 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	166.94	167.15

FILE 'CAPLUS' ENTERED AT 07:36:30 ON 11 APR 2006
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FILE COVERS 1907 - 11 Apr 2006 VOL 144 ISS 16
FILE LAST UPDATED: 10 Apr 2006 (20060410/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

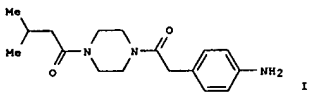
=> s l3
L4 12 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:195748 CAPLUS
DOCUMENT NUMBER: 144:274305
TITLE: Amides containing heterocyclic linkers: their preparation, pharmaceutical compositions and methods comprising proteinase activated receptor antagonists useful for treatment of diseases associated with abnormal cellular proliferation, angiogenesis, inflammation and cancer
INVENTOR(S): Agoston, Gregory E.; Hembrough, Todd A.; Lavallee, Theresa M.; Shah, Jamshed H.; Suwandi, Lita; Treston, Anthony M.
PATENT ASSIGNEE(S): Entremed, Inc., USA
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006023844	A2	20060302	WO 2005-US29765	20050819
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006063930	A1	20060323	US 2005-208460	20050819
PRIORITY APPLN. INFO.:			US 2004-603307P	P 20040820
			US 2005-644710P	P 20050118

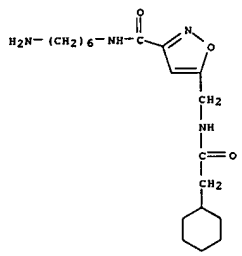
GI



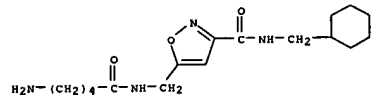
I

AB Compns. and methods comprising proteinase activated receptor antagonists are provided. More particularly, the present invention relates to the use of proteins, peptides, and mols. that bind to proteinase activated receptor 2 (PAR-2) and inhibit the processes associated with the activation

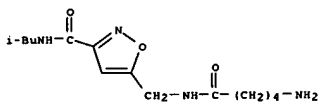
L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



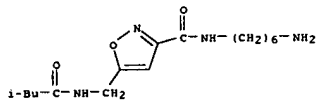
RN 878010-05-0 CAPLUS
CN 3-Isoxazolecarboxamide, 5-[[(5-amino-1-oxopentyl)amino]methyl]-N-(cyclohexylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
of that receptor. More specifically, the present invention provides compns. and methods for the treatment of disorders and diseases such as those assocd. with abnormal cellular proliferation, angiogenesis, inflammation, and cancer. Example compd. I was prepd. by coupling of piperazine with 4-aminophenylacetic acid and the resulting N-(4-aminophenylacetyl)piperazine underwent coupling with 3-methylbutanoic acid to give compd. I. All the invention compds. were evaluated for their PAR-2 inhibitory activity. PAR-2 mimetic antagonist I showed good activity (treated/controlled) of 0.01 at 1 mM concn.
IT 878010-02-7P 878010-03-8P 878010-04-9P 878010-05-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of amides containing heterocyclic linkers as PAR antagonists and their use for treatment of diseases associated with abnormal cellular proliferation, angiogenesis, inflammation, and cancer)
RN 878010-02-7 CAPLUS
CN 3-Isoxazolecarboxamide, 5-[[(5-amino-1-oxopentyl)amino]methyl]-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)



RN 878010-03-8 CAPLUS
CN 3-Isoxazolecarboxamide, N-(6-aminohexyl)-5-[[(3-methyl-1-oxobutyl)amino]methyl]- (9CI) (CA INDEX NAME)



RN 878010-04-9 CAPLUS
CN 3-Isoxazolecarboxamide, N-(6-aminohexyl)-5-[[(cyclohexylacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1126676 CAPLUS
DOCUMENT NUMBER: 143:405899
TITLE: Preparation of thiazoles and analogs as anaplastic lymphoma kinase modulators
INVENTOR(S): Leahy, James William; Lewis, Gary Lee; Nuss, John M.; Ridgway, Brian Hugh; Sangalang, Joan C.
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 346 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005097765	A1	20051020	WO 2005-US10969	20050331
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2004-558800P	P 20040331
OTHER SOURCE(S):			MARPAT 143:405899	

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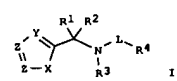

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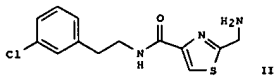

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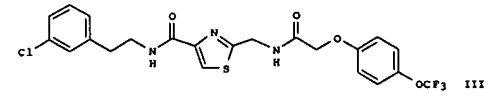


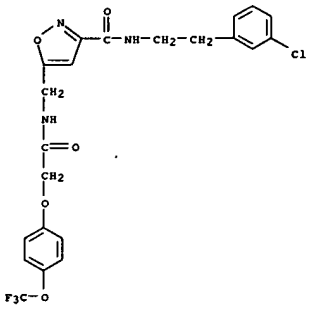
III

AB Title compds. I [wherein R1, R2 = H, halo, trihalomethyl; R1 and R2 are oxo; R3, R4 = H, (un)substituted alkyl, aryl; X = O, S; Y = (un)substituted CH or N; one of Z = C(COO-alkyl), C(CONH-alkyl), while the other Z = N, (un)substituted CH; L = C(O/S), SO2 or absence; etc., pharmaceutically acceptable salts, hydrates or prodrugs thereof] as modulators of protein kinases, especially anaplastic lymphoma kinases (ALK).
For example, alkylation of 4-CF3OC6H4OH with tert-Bu bromoacetate followed

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
by treatment with TFA and chlorination with SOCl2 gave an acyl chloride (97% yield for three steps), which underwent amidation with amine II (prepn. given) to afford amide III. This compds. showed inhibition against ALK with IC50 < 50 nM in the luciferase-coupled chemiluminescent kinase assay. Therefore, I and their pharmaceutical compns. are useful for modulating protein kinase enzymic activity and for modulating cellular
activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion.

IT **867340-24-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(modulator; preparation of thiazoles and analogs as aneplastic lymphoma
kinase modulators)

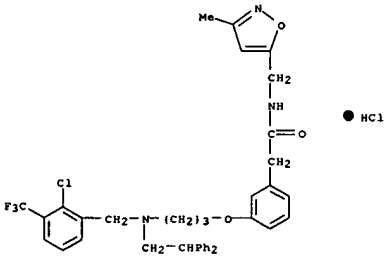
RN 867340-24-7 CAPLUS
CN 3-Isoxazolecarboxamide, N-[2-(3-chlorophenyl)ethyl]-5-[[[[4-(trifluoromethoxy)phenoxy]acetyl]amino]methyl]- (9CI) (CA INDEX NAME)



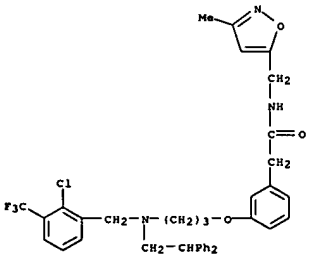
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
diphenylethyl)amino]propoxy]phenyl]-N-(3-methylisoxazol-5-ylmethyl)acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amide compds. and methods of using the same)

RN 691892-73-6 CAPLUS
CN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-[(3-methyl-5-isoxazolyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 691893-87-5 CAPLUS
CN Benzeneacetamide, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-N-[(3-methyl-5-isoxazolyl)methyl]- (9CI) (CA
INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:430788 CAPLUS
DOCUMENT NUMBER: 141:6921
TITLE: Preparation of substituted phenyl amides as LXRα and LXRβ agonists
INVENTOR(S): Thompson, Scott K.; Frazee, James S.; Kallander, Lara S.; Ma, Chun; Marino, Joseph P.; Neeb, Michael J.; Wang, Ning
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043939	A1	20040527	WO 2003-US9461	20030326
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003220558	A1	20040603	AU 2003-220558	20030326
EP 1497270	A1	20050119	EP 2003-716872	20030326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005107444	A1	20050519	US 2003-508791	20030326
PRIORITY APPLN. INFO.:			US 2002-368427P	P 20020327
			WO 2003-US9461	W 20030326

OTHER SOURCE(S): MARPAT 141:6921
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Z = C(H, alkyl, etc.), N; k = 0-4; t = 0-1; Y = O, S, amino, alkyl; W1 = alkyl, cycloalkyl, aryl, etc.; W2 = H, halo, alk(en/yn)yl, etc.; W3 = H, halo, alkyl, etc.; Q = cycloalkyl, aryl, heteroaryl; p = 0-8; n = 2-8; m, q, t = 0-1; R1-2 = H, halo, alk(en/yn)yl,
etc.; R4-11 = H, halo, alkyl, etc.] are prepared For instance, Me [3-(3-bromopropoxy)phenyl]acetate (preparation given) is reacted with N-[2-chloro-3-(trifluoromethyl)benzyl]-2,2-diphenylethaneamine (preparation
given; CH3CN, K2CO3, reflux, 4 days), the resulting amine saponified (THF/H2O, LiOH) and the acid coupled to morpholine (CH3CN, BOPCl, Et3N) to
give II. I are useful as LXR agonists.

IT **691892-73-6P**, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-diphenylethyl)amino]propoxy]phenyl]-N-[(3-methylisoxazol-5-yl)methyl]acetamide hydrochloride **691893-87-5P**, 2-[3-[3-[(2-Chloro-3-trifluoromethylbenzyl)(2,2-

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:719462 CAPLUS
DOCUMENT NUMBER: 139:246014
TITLE: Preparation of substituted isoxazolylalkylamine derivatives as agricultural and horticultural fungicides
INVENTOR(S): Shimozono, Noriko; Wada, Hiroshi
PATENT ASSIGNEE(S): SDS Biotech K.K., Japan
SOURCE: PCT Int. Appl., 235 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074501	A1	20030912	WO 2003-JP2632	20030306
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003221322	A1	20030916	AU 2003-221322	20030306
EP 1491535	A1	20041229	EP 2003-710257	20030306
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005171358	A1	20050804	US 2003-506907	20030306
PRIORITY APPLN. INFO.:			JP 2002-61835 A	20020307
			WO 2003-JP2632 W	20030306

OTHER SOURCE(S): MARPAT 139:246014
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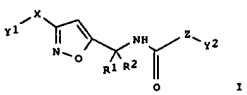
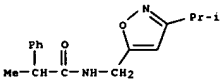


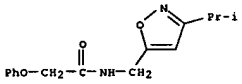
I

AB Title compds. I [R1 and R2 represents, for example, hydrogen or a substituted or unsubstituted alkyl; X represents, for example, a single bond or an alkylene; Y1 represents, for example, a substituted or unsubstituted lower alkyl, a substituted or unsubstituted cycloalkyl, a substituted or unsubstituted Ph or a substituted or unsubstituted heteroaryl; Y2 represents, for example, a substituted or unsubstituted cycloalkyl, a substituted or unsubstituted Ph or a substituted or unsubstituted heteroaryl; and Z represents, for example, a substituted or unsubstituted alkylene, -O-(substituted or unsubstituted alkylene)- or -NR-(substituted or unsubstituted alkylene)-], useful as fungicides, are prepared For example, reaction of 5-aminomethyl-3-(2-chlorophenyl)isoxazole

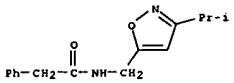
L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
with Ph chloroformate in CH2Cl2 in the presence of diisopropylethylamine at room temp. for 5 h gave Ph [[3-(2-chlorophenyl)-5-isoxazolyl]methyl]carbamate (II). II showed fungicidal activity against Pyricularia oryzae at 200 ppm.
IT 596124-60-6P 596125-63-2P 596125-64-3P
596125-66-5P 596125-67-6P 596125-70-1P
596126-47-5P 596127-09-2P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of isoxazolylalkylamines as fungicides)
RN 596124-60-6 CAPLUS
CN Benzeneacetamide, α-methyl-N-[[3-(1-methylethyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)



RN 596125-63-2 CAPLUS
CN Acetamide, N-[[3-(1-methylethyl)-5-isoxazolyl]methyl]-2-phenoxy- (9CI) (CA INDEX NAME)

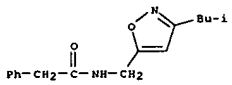


RN 596125-64-3 CAPLUS
CN Benzeneacetamide, N-[[3-(1-methylethyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)

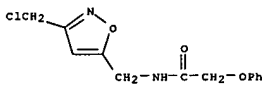


RN 596125-66-5 CAPLUS
CN Benzeneacetamide, N-[[3-(2-methylpropyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)

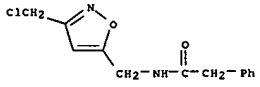
L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



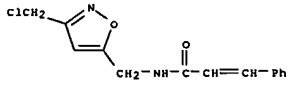
RN 596125-67-6 CAPLUS
CN Acetamide, N-[[3-(chloromethyl)-5-isoxazolyl]methyl]-2-phenoxy- (9CI) (CA INDEX NAME)



RN 596125-70-1 CAPLUS
CN Benzeneacetamide, N-[[3-(chloromethyl)-5-isoxazolyl]methyl]- (9CI) (CA INDEX NAME)

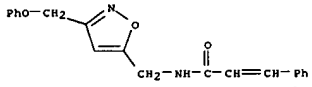


RN 596126-47-5 CAPLUS
CN 2-Propenamide, N-[[3-(chloromethyl)-5-isoxazolyl]methyl]-3-phenyl- (9CI) (CA INDEX NAME)



RN 596127-09-2 CAPLUS
CN 2-Propenamide, N-[[3-(phenoxymethyl)-5-isoxazolyl]methyl]-3-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:58072 CAPLUS
DOCUMENT NUMBER: 138:122658
TITLE: Preparation of heterocyclic compounds which interact with beta-catenin/TCF-4 binding site
INVENTOR(S): Moll, Juergen; Knapp, Stefan; Dalvit, Claudio; Trosset, Jean-Yves; Sundstrom, Michael; Mantegani, Sergio
PATENT ASSIGNEE(S): Pharmacia Italia S.p.A., Italy
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

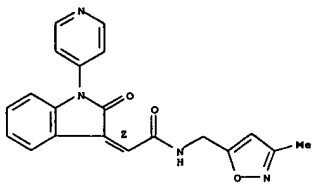
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003006447	A2	20030123	WO 2002-EP7536	20020703
WO 2003006447	A3	20031120		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2453175	AA	20030123	CA 2002-2453175	20020703
EP 1406889	A2	20040414	EP 2002-784844	20020703
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2004534097	T2	20041111	JP 2003-512219	20020703
US 2004204477	A1	20041014	US 2004-482755	20040524

PRIORITY APPLN. INFO.: EP 2001-202626 A 20010709
WO 2002-EP7536 W 20020703

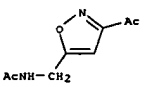
OTHER SOURCE(S): MARPAT 138:122658
AB This document discloses a pharmacophore (IA), characterized by a structure
which comprises: (a) a saturated, partially saturated, carbocyclic or heteroarom.
ring (A), substituted at least by a substituent (Z) pharmacophore (IA), characterized by a structure which comprises : a saturated, partially saturated,
carbocyclic, or heteroarom. pentat. ring (A), substituted at least by a substituent (Z) selected independently from hydrogen, halogen, etc., (b) an optionally substituted, saturated, partially saturated, carbocyclic, aromatic, or
internally condensed ring (B); rings (A) and (B) being separated by a spacer
(Y). This document also discloses a screening method for identifying a candidate drug for use in familial adenomatous polyposis patients, patients with APC or beta-catenin mutations, or patients with increased risk of developing cancer. A compound of this invention has been identified
to bind strongly to beta-catenin and reduced TCF-4 affinity for beta-catenin about 10-fold. Formulations are given.

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
IT 489430-81-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of heterocyclic compds. which interact with beta-catenin/TCF-4
binding site)
RN 489430-81-1 CAPLUS
CN Acetamide,
2-[1,2-dihydro-2-oxo-1-(4-pyridinyl)-3H-indol-3-ylidene]-N-[(3-methyl-5-isoxazolyl)methyl]-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:836782 CAPLUS
DOCUMENT NUMBER: 136:118413
TITLE: Anti-Helicobacter pylori Agents. 5. 2-(Substituted guanidino)-4-arylthiazoles and Aryloxazole Analogues
AUTHOR(S): Katsura, Yousuke; Nishino, Shigetaka; Inoue, Yoshikazu; Sakane, Kazuo; Matsumoto, Yoshimi; Morinaga, Chizu; Ishikawa, Hirohumi; Takasugi, Hisashi
CORPORATE SOURCE: Medicinal Chemistry Research Laboratories and Medicinal Biology Research Laboratories, Fujisawa Pharmaceutical Company Ltd., Yodogawa-ku, Osaka, 532-8514, Japan
SOURCE: Journal of Medicinal Chemistry (2002), 45(1), 143-150
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:118413
AB To extend the SAR study of guanidinothiazoles as a structurally novel class of anti-H. pylori agents, a series of 2-(substituted guanidino)-4-arylthiazoles and some 4-aryloxazole analogs were synthesized
and evaluated for antimicrobial activity against H. pylori. Some of them were also subjected to H2 antagonist and gastric antisecretory assays. Several arylthiazoles were identified as potent anti-H. pylori agents, and
of these, a thienylthiazole derivative exhibited the strongest activity (MIC =
0.0065 μg/mL) among the compds. obtained in our guanidinothiazole studies. Although the thienylthiazole derivative was void of H2 antagonist
activity, a pyridylthiazole derivative had both potent anti-H. pylori and H2
antagonist activities. On the other hand, no attractive activities were found in pyrimidyl, oxazolyl, isoxazolyl, imidazolyl, and oxadiazolylthiazole derivs. The anti-H. pylori activity of the aryloxazole analogs was weaker than those of the corresponding arylthiazole derivs., though they had potent H2 antagonist activity.
IT 390817-71-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of guanidinoarylthiazoles and aryloxazoles and their antimicrobial activity against H. pylori., H2 antagonist activity, and gastric antisecretory assays)
RN 390817-71-7 CAPLUS
CN Acetamide, N-[(3-acetyl-5-isoxazolyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:526075 CAPLUS
DOCUMENT NUMBER: 135:122506
TITLE: Preparation of 2-amino-2-(aryl or heteroaryl)propanoic acid derivatives and related compounds as non-peptidyl inhibitors of VLA-4 dependent cell binding useful in treating inflammatory, autoimmune, and respiratory diseases
INVENTOR(S): Chupak, Louis Stanley; Duplantier, Allen Jacob; Lau, Wan Fang; Milici, Anthony John
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051487	A1	20010719	WO 2000-IB1893	20001215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2396087	AA	20010719	CA 2000-2396087	20001215
BR 2000016818	A	20021001	BR 2000-16818	20001215
EP 1244656	A1	20021002	EP 2000-983429	20001215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
TR 200201668	T2	20021121	TR 2002-200201668	20001215
JP 2003519697	T2	20030624	JP 2001-551869	20001215
EE 200200372	A	20031215	EE 2002-372	20001215
NZ 518886	A	20040227	NZ 2000-518886	20001215
US 2002049236	A1	20020425	US 2000-747246	20001221
US 2003004196	A1	20030102	US 2002-170289	20020612
US 6668527	B2	20031230		
US 2003100585	A1	20030529	US 2002-171286	20020612
US 6667331	B2	20031223		
BG 106867	A	20030228	BG 2002-106867	20020624
NO 2002003085	A	20020626	NO 2002-3085	20020626
ZA 2002005142	A	20030929	ZA 2002-5142	20020626
US 2004102496	A1	20040527	US 2003-702539	20031105
US 6903128	B2	20050607		

PRIORITY APPLN. INFO.: US 1999-173260P P 19991228
WO 2000-IB1893 W 20001215
US 2000-747246 B3 20001221
US 2002-170289 A3 20020612

OTHER SOURCE(S): MARPAT 135:122506
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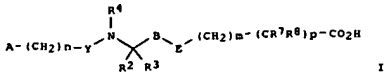


L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

I

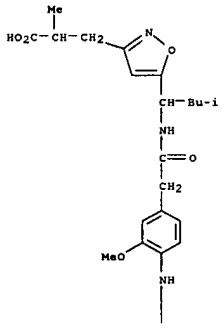
AB There is disclosed a genus of non-peptidyl compds. represented by formula A-(CH2)n-Y-N(R4)-CR2R3-B-E-(CH2)m-(CR7R8)p-CO2H [A is (un)substituted C1-C6 alkyl, cycloalkyl, aryl, heteroaryl or heterocyclyl, A1-NHCONH-A2, A1-NHCO2-A2, A1-O2CNH-A2, A1-NHSO2NH-A2, A1-NHCO-A2, A1-CONH-A2, A-NHSO2-A2, etc. (where A1, A2 = H, (un)substituted aryl, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, cycloalkyl, heteroaryl, or heterocyclyl); E = a single bond, O, (un)substituted NH, CH:CH, C.tplbond.C, S, SO, SO2, (un)substituted CH2NH or CH2; B = Q-Q8 (proviso provided), etc. (where X = O, CO, S, SO, SO2, optionally substituted NH; X1, X2, X3 = optionally substituted CH, N; Y = a single bond, CO, CS, SO2); m = 0,1; n = 0-2; R2, R3 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C3-14 carbocyclyl, heterocyclyl, C1-6 alkyl-OR5, C1-6 alkyl-SR5, C1-6 alkyl-SO2R5, heteroaryl, or aryl (where R5, R6 = H, optionally substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, aryl, cycloalkyl, heteroaryl, or heterocyclyl, CF3); R4 = H, (un)substituted C1-6 alkyl; R7 = C1-6 alkyl, (CH2)kOR5, (CH2)kCOR5, (CH2)kCONR6R5, (CH2)kNR6COR5, (CH2)k CO2 R5, (CH2)kNR6SO2R5, (CH2)kNR6R5, F, CF3, etc.; R8 = H, cyano, C1-6 alkyl or alkoxy]. These compds. are active as potent inhibitors of the binding of very late antigen-4 (VLA-4) to proteins such as vascular cell adhesion mol.-1 (VCAM-1), the HepII/IIICS domain (CS-1 region) of fibronectin and osteopontin (no data). They are effective for preventing, inhibiting, suppressing or reducing cell adhesion and consequent or associated pathogenic processes subsequently mediated by VLA-4. They are useful in treating inflammatory, autoimmune, and respiratory diseases which are selected from asthma, multiple sclerosis, rheumatoid arthritis, osteoarthritis, inflammatory bowel disease, psoriasis, host rejection following organ transplantation, atherosclerosis, and other diseases mediated by or associated with VLA-4. Thus, 3,5-dichlorobenzenesulfonyl chloride (86.7 mg) was added to a solution of 2-allyloxycarbonylamino-3-(3-pyrrolidin-2-ylisoxazol-5-yl)propionic acid Et ester hydrochloride (110 mg) and sodium carbonate (93.5 mg) in water (1.5 mL) and stirred overnight to give 37% 2-Allyloxycarbonylamino-3-[3-[1-(3,5-dichlorobenzenesulfonyl)pyrrolidin-2-y]isoxazol-5-yl]propionic acid Et ester which (59 mg) was stirred with 2 M aqueous LiOH (0.5 mL) at room temperature for 40 min and acidified to pH 1 with 1 M HCl t give 91% 2-Allyloxycarbonylamino-3-[3-[1-(3,5-dichlorobenzenesulfonyl)pyrrolidin-2-y]isoxazol-5-yl]propionic acid.

IT **350677-06-4P 350677-07-5P 350677-08-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

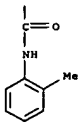
L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(prepn. of amino(aryl or heteroaryl)propanoic acid derivs. and related compds. as non-peptidyl inhibitors of VLA-4 dependent cell binding for treating inflammatory, autoimmune, and respiratory diseases)

RN 350677-06-4 CAPLUS
CN 3-Isoxazolepropanoic acid, 5-[1-[[[3-methoxy-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]-3-methylbutyl]-α-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



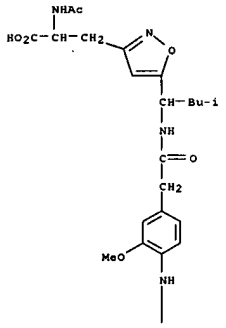
PAGE 2-A



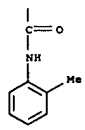
RN 350677-07-5 CAPLUS
CN 3-Isoxazolepropanoic acid, α-(acetylamino)-5-[1-[[[3-methoxy-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]-3-methylbutyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

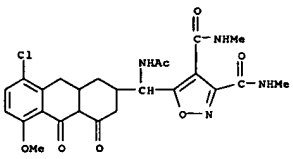


RN 350677-08-6 CAPLUS
CN 3-Isoxazolepropanoic acid, 5-[1-[[[3-methoxy-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]-3-methylbutyl]-α-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:4027 CAPLUS
DOCUMENT NUMBER: 78:4027
TITLE: 2-[(5-(3- and 4-Substituted isoxazolyl)aminomethyl]-3,4,10-trioxo-1,2,3,4,4,9,9,10-octahydroanthracenes and related compounds
INVENTOR(S): Butler, Kenneth; Conover, Lloyd H.; Woodward, Robert B.
PATENT ASSIGNEE(S): Pfizer Inc.
SOURCE: U.S., 40 pp. Division of U.S. 3,502,660 (CA 73;14574j).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3699117	A	19721017	US 1969-845872	19690729
PRIORITY APPLN. INFO.:			US 1969-845872 A	19690729

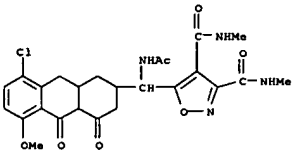
AB The title compds. were prepared as intermediates for the preparation of tetracycline derivs. About 110 compds. were prepared
IT 29066-17-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 29066-17-9 CAPLUS
CN 3,4-Isoxazoledicarboxamide, 5-[(acetylamino)(8-chloro-1,2,3,4,4a,9,9a,10-octahydro-5-methoxy-4,10-dioxo-2-anthracenyl)methyl]-N,N'-dimethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1970:520602 CAPLUS
DOCUMENT NUMBER: 73:120602
TITLE: (Isoxazolyl)(amino)-methyl-tetrahydroanthracenes
INVENTOR(S): Conover, Lloyd H.
PATENT ASSIGNEE(S): Pfizer, Chas., and Co., Inc.
SOURCE: U.S., 51 pp. Division of U.S. 3409616
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

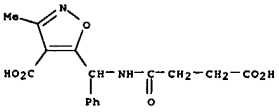
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3524862	A	19700818	US 1967-679256	19671030
PRIORITY APPLN. INFO.:			US 1967-679256 A	19671030

GI For diagram(s), see printed CA Issue.
AB The disclosure is the same, but the claims are different.
IT 29066-17-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 29066-17-9 CAPLUS
CN 3,4-Isoxazoledicarboxamide, 5-[(acetylamino)(8-chloro-1,2,3,4,4a,9,9a,10-octahydro-5-methoxy-4,10-dioxo-2-anthracenyl)methyl]-N,N'-dimethyl- (9CI) (CA INDEX NAME)

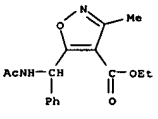


L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1965:410106 CAPLUS
DOCUMENT NUMBER: 63:10106
ORIGINAL REFERENCE NO.: 63:1782d-h
TITLE: α-(N-Succinimido)phenylacetic acid derivatives
AUTHOR(S): Carelli, Vincenzo; Cardellini, Mario; Tafaro, Pietro
CORPORATE SOURCE: Univ. Bari, Italy
SOURCE: Annali di Chimica (Rome, Italy) (1964), 54(12), 1282-90
CODEN: ANCRAI; ISSN: 0003-4592
DOCUMENT TYPE: Journal
LANGUAGE: Italian
AB Several derivs. of α-(N-succinimido)phenylacetic acid (I) were prepared as potential anticonvulsants and antispasmodics. The synthesis of α-(N-succinimido)benzyl derivs. of isoxazole, pyrazole, and pyrazolone is also described. DL-α-Phenylglycine (90 g.) and 60 g. succinic anhydride in 400 cc. AcOH refluxed gave 140 g. α-(N-succinamyl) analog (II) of I, m. 156-7° (H2O). II (160 g.), 140 g. AcONa, and 700 cc. AcOH yielded after refluxing 3 hrs. 90 g. I, m. 199-200° (aqueous Me2CO). I (9 g.) and 50 cc. SOCl2 refluxed 1 hr. gave 10 g. chloride (III) of I, m. 127-8°. Et2NCH2CH2OH (28 g.) refluxed 0.5 hr. with 15 g. III in 200 cc. dry C6H6 gave 8 g. Et2NCH2CH2 ester of I, b0.07 175-8°, m. 51-2°. Et2NCH2CH2NH2 (9 g.) and 5 g. Na2CO3 stirred 3 hrs. at room temperature with 10 g. III in 500 cc. C6H6 gave 13 g. N-Et2NCH2CH2 amide of I, m. 128-9° (1:2 C6H6-ligroine). Et2O (120 g.), 28 g. CH2(CO2Et)2, 16 cc. absolute EtOH, and 20 cc. dry Et2O added successively to 4.25 g. Mg, 4 cc. absolute EtOH and 0.4 cc. CCl4 at reflux, and the mixture treated with stirring with 40 g. III in the min. amount C6H6 and heated 1 hr. on the water bath yielded 39 g. 1,1-dicarbethoxy-3-phenyl-3-(N-succinimido)propan-2-one (IV), m. 72-3° (EtOH). IV (3.75 g.) in 20 cc. 50% aqueous AcOH treated 48 hrs. at room temperature with 1.1 g. PhNHNH2 yielded 2 g. 1-phenyl-3-[α-(N-succinimido)benzyl]-4-carbethoxy-pyrazolin-5-one, m. 198-200° (EtOH). AcCH2CO2Et (52 g.) in 160 cc. dry Et2O added dropwise with stirring and cooling to 9.6 g. Mg and 1.5 cc. CCl4 in 65 cc. absolute EtOH, and the mixture stirred 4 hrs. at room temperature with 80 g. III in the min. amount C6H6 and kept 24 hrs. yielded 54 g. 1-acetyl-1-carbethoxy analog (V) of IV, m. 94-6° (EtOH). V (12 g.) in 120 cc. 50% aqueous AcOH treated 24 hrs. at room temperature with 6 g. PhNHNH2 gave 8 g. 1-phenyl-3-methyl-4-carbethoxy-5-[α-(N-succinimido)benzyl]pyrazole, m. 141-2° (EtOH). V (7 g.), 2.8 g. NH2OH.HCl, 8 g. AcONa, and 150 cc. AcOH heated 3 hrs. on a water bath gave 3.4 g. 4-carbethoxy-3-methyl-5-[α-(N-succinimido)benzyl]isoxazole (VI), m. 139-40° (EtOH). VI (3.2 g.) in 20 cc. 6N HCl refluxed 3 hrs. gave 2.5 g. 5-PhCH(NH2) analog (VII) of VI.HCl, m. 211-13° (decomposition). VII.HCl with 2N Na2CO3 gave VII, yellow oil; Ac derivative, m. 119-21° (cyclohexane). VII (0.7 g.) and 0.3 g. NaOEt in 30 cc. EtOH refluxed 1 hr. and kept overnight at room temperature yielded 0.1 g. 4-carboxy-3-methyl-5-(α-aminobenzyl)isoxazole (VIII), m. 234-5° (H2O). VI (2 g.) in 30 cc. 2N NaOH and 10 cc. EtOH refluxed 5 hrs. yielded 1 g. 5-[α-(N-succinamylbenzyl)] analog of VIII, m. 170-3° (H2O).
IT 1656-07-1, Succinamic acid, N-[α-(4-carboxy-3-methyl-5-isoxazolyl)benzyl]- 1656-08-2, 4-Isoxazolecarboxylic acid, 5-(α-acetamidobenzyl)-3-methyl-, ethyl ester
(preparation of)

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 1656-07-1 CAPLUS
CN 4-Isoxazolecarboxylic acid, 5-[[(3-carboxy-1-oxopropyl)amino]phenylmethyl]-3-methyl- (9CI) (CA INDEX NAME)

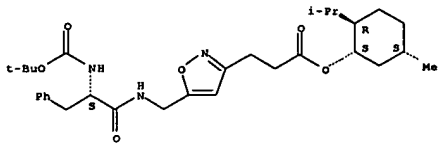


RN 1656-08-2 CAPLUS
CN 4-Isoxazolecarboxylic acid, 5-[(acetylamino)phenylmethyl]-3-methyl-, ethyl
ester (9CI) (CA INDEX NAME)



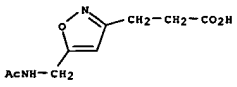
ester, [1S-[1α(R*),2β,5α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



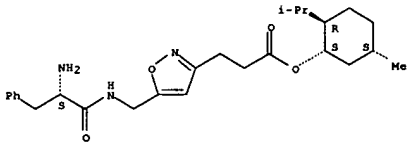
IT 138741-68-1P 138741-71-6P 138741-72-7P
138742-06-0P 138742-07-1P 138742-08-2P
138810-63-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as neuroprotectant)

RN 138741-68-1 CAPLUS
CN 3-Isoxazolepropanoic acid, 5-[(acetylamino)methyl]- (9CI) (CA INDEX NAME)



RN 138741-71-6 CAPLUS
CN 3-Isoxazolepropanoic acid,
5-[[(2-amino-1-oxo-3-phenylpropyl)amino]methyl]-
, 5-methyl-2-(1-methylethyl)cyclohexyl ester, monohydrochloride,
[1S-[1α(R*),2β,5α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

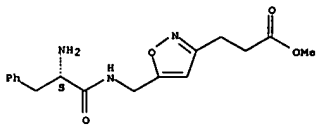


● HCl

RN 138741-72-7 CAPLUS
CN 3-Isoxazolepropanoic acid,
5-[[(2-amino-1-oxo-3-phenylpropyl)amino]methyl]-

, methyl ester, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

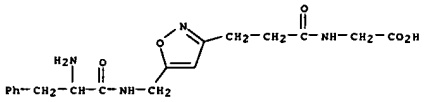


● HCl

RN 138742-06-0 CAPLUS
CN Glycine, N-[3-[5-[[(2-amino-1-oxo-3-phenylpropyl)amino]methyl]-3-
isoxazolyl]-1-oxopropyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

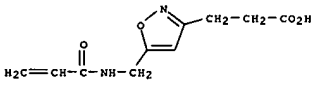
CRN 138742-05-9
CMF C18 H22 N4 O5



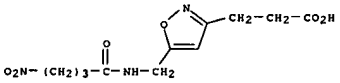
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 138742-07-1 CAPLUS
CN 3-Isoxazolepropanoic acid, 5-[[(1-oxo-2-propenyl)amino]methyl]- (9CI)
(CA
INDEX NAME)

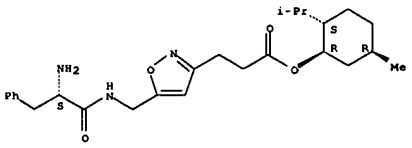


RN 138742-08-2 CAPLUS
CN 3-Isoxazolepropanoic acid, 5-[[(4-nitro-1-oxobutyl)amino]methyl]- (9CI)
(CA INDEX NAME)



RN 138810-63-6 CAPLUS
CN 3-Isoxazolepropanoic acid,
5-[[(2-amino-1-oxo-3-phenylpropyl)amino]methyl]-
, 5-methyl-2-(1-methylethyl)cyclohexyl ester, monohydrochloride,
[1R-[1α(S*),2β,5α]]- (9CI) (CA INDEX NAME)

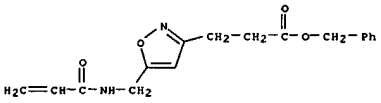
Absolute stereochemistry.



● HCl

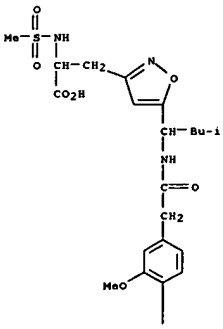
IT 138742-39-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of neuroprotectants)

RN 138742-39-9 CAPLUS
CN 3-Isoxazolepropanoic acid, 5-[[(1-oxo-2-propenyl)amino]methyl]-,
phenylmethyl ester (9CI) (CA INDEX NAME)

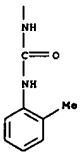


L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

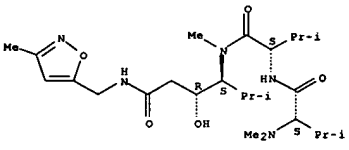
ACCESSION NUMBER: 1996:248955 CAPLUS
DOCUMENT NUMBER: 124:333070
TITLE: Preparation of peptides as antitumor agents
INVENTOR(S): Haupt, Andreas; Janssen, Bernd; Ritter, Kurt; Klinge, Dagmar; Keilhauer, Gerhard; Romerdahl, Cynthia; Barlozzari, Teresa; Qian, Xiao Dong
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: U.S., 36 pp., Cont.-in-part of U. S. Ser. No. 991,309, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5502032	A	19960326	US 1994-178529	19940105
CA 2151953	AA	19940623	CA 1993-2151953	19931204
HU 72067	A2	19960328	HU 1995-1754	19931204
CZ 286752	B6	20000614	CZ 1995-1575	19931204
ES 2151921	T3	20010116	ES 1994-902676	19931204
IL 107987	A1	19991028	IL 1993-107987	19931210
TW 400335	B	20000801	TW 1993-82110574	19931214
ZA 9309389	A	19950615	ZA 1993-9389	19931215
CN 1095724	A	19941130	CN 1993-112646	19931216
CN 1057095	B	20001004		
HR 931504	B1	20010430	HR 1993-931504	19931216
PRIORITY APPLN. INFO.:			US 1992-991309 B2	19921216

OTHER SOURCE(S): MARPAT 124:333070
AB Novel peptides containing benzene, heterocyclic rings are prepared and have antitumor activity. Thus, a peptide was prepared from phenylalanine-HCl, BOC-NMeCH(CHMe2)CH(OMe)CH2CO2H, and N-tert-butyloxycarbonylvaline-N-carboxyanhydride. The peptides can be used for tumor treatment.
IT 176769-13-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as antitumor agents)
RN 176769-13-4 CAPLUS
CN L-Valinamide, N,N-dimethyl-L-valyl-N-[2-hydroxy-1-(1-methylethyl)-4-[[(3-methyl-5-isoxazolyl)methyl]amino]-4-oxobutyl]-N-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

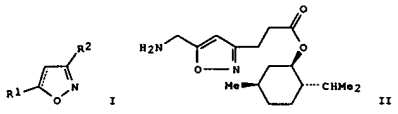


L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:83658 CAPLUS
DOCUMENT NUMBER: 116:83658
TITLE: Preparation of 5-(aminomethyl)isoxazole- and -isoxazoline-3-propionates and analogs as neuroprotective agents
INVENTOR(S): Schwab, Wilfried; Anagnostopulos, Hiristo; Porsche-Wiebking, Elena; Grome, John
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 451790	A1	19911016	EP 1991-105614	19910409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FI 9101718	A	19911013	FI 1991-1718	19910410
US 5273989	A	19931228	US 1991-683068	19910410
CA 2040219	AA	19911013	CA 1991-2040219	19910411
NO 9101432	A	19911014	NO 1991-1432	19910411
AU 9174285	A1	19911017	AU 1991-74285	19910411
CN 1055537	A	19911023	CN 1991-102287	19910411
BR 9101475	A	19911126	BR 1991-1475	19910411
ZA 9102701	A	19911224	ZA 1991-2701	19910411
JP 04234857	A2	19920824	JP 1991-105156	19910411
PRIORITY APPLN. INFO.:			DE 1990-4011880 A	19900412

OTHER SOURCE(S): MARPAT 116:83658
GI



AB Title compds. [e.g. I; R1 = pyridyl, aminoalkyl, heterocyclylalkyl, etc.; R2 = (CH2)nX; X = OH, CO2H, alkoxy, alkoxycarbonyl, etc.; n = 0-4; dashed line = optional bond] were prepared Thus, HC.tplbond.CCH2NHCO2CMe3 was cyclocondensed with (-)-menthyl 4-nitrobutyrate to give title compound (-)-II as the tosylate. The latter gave 70 and 100% inhibition of NMDA-induced convulsions and mortality, resp., in mice at 50 mg/kg orally.
IT 138742-24-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of neuroprotectants)
RN 138742-24-2 CAPLUS
CN 3-Isoxazolepropanoic acid, 5-[[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]amino]methyl]-, 5-methyl-2-(1-methylethyl)cyclohexyl

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---Logging off of STN---

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Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	61.78	228.93

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-9.00	-9.00

STN INTERNATIONAL LOGOFF AT 07:37:07 ON 11 APR 2006